

10/588,985

=> file caplus

FILE 'CAPLUS' ENTERED AT 10:48:52 ON 17 JUN 2009

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 17 Jun 2009 VOL 150 ISS 25

FILE LAST UPDATED: 15 Jun 2009 (20090615/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2009

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

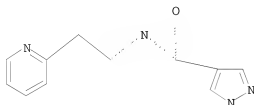
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L1

STR



Structure attributes must be viewed using STN Express query preparation.

L3 65 SEA FILE=REGISTRY SSS FUL L1

L4 10 SEA FILE=CAPLUS L3

=> d l4 1-10 ibib abs hitstr

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:941740 CAPLUS

DOCUMENT NUMBER: 147:277593

TITLE: Preparation of heteroarylalanines as herbicides

INVENTOR(S): Witschel, Matthias; Zagar, Cyrill; Hupe, Eike; Kuehn,

Toralf; Moberg, William Karl; Parra Rapado, Lilliana;

Stelzer, Frank; Vescovi, Andrea; Rack, Michael;

Reinhard, Robert; Sievernich, Bernd; Grossmann, Klaus;

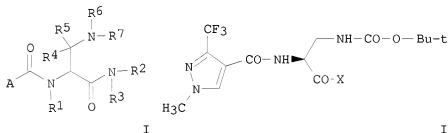
Ehrhardt, Thomas

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 75pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007093529	A2	20070823	WO 2007-EP51144	20070207
WO 2007093529	A3	20071221		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA EP 1987008 A2 20081105 EP 2007-704402 20070207 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR US 20090054240 A1 20090226 US 2008-279425 20080814 EP 2006-110017 A 20060216 WO 2007-EP51144 W 20070207				
PRIORITY APPLN. INFO.:				

OTHER SOURCE(S): MARPAT 147:277593

GI



AB Title compds. I [A = 5 or 6-membered heteroaryl with provisos; R1, R2 = H, OH, alkoxy; R3 = alkyl, cyanoalkyl, haloalkyl; R4 = H, alkyl; R5 = H, alkyl, alkenyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.] were prepared For example, condensation of MeNH₂/MeOH and Me ester II [X = O in Me] afforded amide II [X = NHMe]. Compds. I are claimed to be useful as agrochem. herbicides.

IT 946611-88-7P

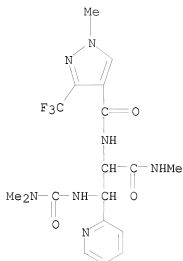
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryllalanines as herbicides)

RN 946611-88-7 CAPLUS

CN 2-Pyridinepropanamide, β-[[[(dimethylamino)carbonyl]amino]-N-methyl-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-

(CA INDEX NAME)



L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:538689 CAPLUS

DOCUMENT NUMBER: 146:521800

TITLE: Heterocyclic compounds as tyrosine kinase modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Anikin, Alexey Vyacheslavovich; Gantla, Vidyasagar Reddy; Gregor, Vlad Edward; Jiang, Luyong; Liu, Yahua; Mcgee, Danny Peter Claude; Mikel, Charles Chamchoumis; Pickens, Jason Conrad; Webb, Thomas Roy; Zheng, Yan; Zhu, Tong; Kadushkin, Aleksander; Zozulya, Sergey; Chucholowski, Alexander; McGrath, Douglas Eric; Sviridov, Sergey

PATENT ASSIGNEE(S): Chembridge Research Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 385pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056155	A1	20070518	WO 2006-US42982	20061102
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

AU 2006311914	A1 20070518	AU 2006-311914	20061102
EP 1960382	A1 20080827	EP 2006-836883	20061102
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			

PRIORITY APPLN. INFO.:

US 2005-734050P

P 20051103

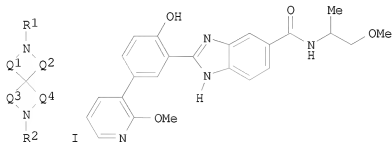
WO 2006-US42982

W 20061102

OTHER SOURCE(S):

MARPAT 146:521800

GI



AB The invention provides compds. of formula I and related compds., capable of modulating tyrosine kinases, compns. comprising the compds. and methods of their use. Compds. of formula I wherein R1 is (un)substituted heterocyclyl, (un)substituted alkyl, (un)substituted sulfonyl, acyl, etc.; R2 is H, lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkylalkyl, (un)substituted (hetero)aryl(alkyl), heterocycloalkyl, etc.; Q1, Q2, Q3 and Q4 are independently, C1-5 alkyl; and their stereoisomers, tautomers, salts, hydrates and prodrugs thereof, are claimed. Example compound II was prepared by amidation of 2-[2-hydroxy-5-(2-methoxypyridin-3-yl)phenyl]benzimidazole-5-carboxylic acid with 1-methoxy-2-propylamine. All the invention compds. were evaluated for their tyrosine kinase modulatory activity (some data given).

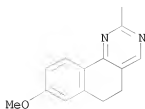
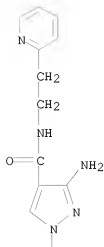
IT 936925-37-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic compds. as tyrosine kinase modulators and their use in the treatment of diseases)

RN 936925-37-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-amino-1-(5,6-dihydro-8-methoxybenzo[h]quinazolin-2-yl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

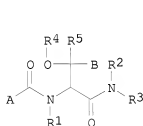


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

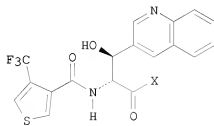
L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:272514 CAPLUS
DOCUMENT NUMBER: 144:331692
TITLE: Preparation of heteroaroylserine amides as herbicides
INVENTOR(S): Witschel, Matthias; Stelzer, Frank; Kuehn, Toralf;
Parra Rapado, Liliana; Rack, Michael; Hupe, Eike;
Zagar, Cyrill; Reinhard, Robert; Sievernich, Bernd;
Ehrhardt, Thomas
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006029829	A1	20060323	WO 2005-EP9856	20050914
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005284348	A1	20060323	AU 2005-284348	20050914
CA 2577181	A1	20060323	CA 2005-2577181	20050914
EP 1791829	A1	20070606	EP 2005-790101	20050914
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 101023073	A	20070822	CN 2005-80031324	20050914
JP 2008513393	T	20080501	JP 2007-531663	20050914
BR 2005015184	A	20080722	BR 2005-15184	20050914
MX 2007001836	A	20070423	MX 2007-1836	20070214
IN 2007KN00555	A	20070706	IN 2007-KN555	20070214
US 20070270312	A1	20071122	US 2007-662586	20070313
KR 2007058618	A	20070608	KR 2007-708532	20070413
PRIORITY APPLN. INFO.:			DE 2004-102004045298A	20040916
			WO 2005-EP9856	W 20050914

OTHER SOURCE(S): MARPAT 144:331692
GI



I



II

AB Title compds. I [A = 5 or 6-membered heteroaryl with provisos; B = mono or bicyclic heteroaryl with provisos; R1,R2 = H OH, alkoxy; R3 = alkyl, cyanoalkyl, haloalkyl; R4 = H, alkyl, cycloalkyl, etc.; R5 = H, alkyl] were prepared. For example, N-acylation of methylamine with serine ester II (X = OEt) afforded serine amide II (X = NHMe) in 88% yield. Compds. I exhibited very good herbicidal activity against *amaranthus retroflexus*, i.e., pig weed.

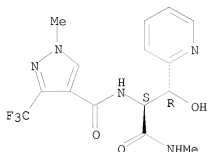
IT 880478-09-9P 880478-08-0P 880478-22-8P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaroylserine amides as herbicides)

RN 880478-07-9 CAPLUS

CN 2-Pyridinepropanamide, β -hydroxy-N-methyl- α -[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, (α R, β S)-rel- (CA INDEX NAME)

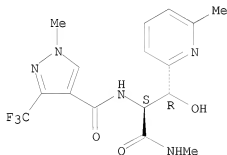
Relative stereochemistry.



RN 880478-08-0 CAPLUS

CN 2-Pyridinepropanamide, β -hydroxy-N,6-dimethyl- α -[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, (α R, β S)-rel- (CA INDEX NAME)

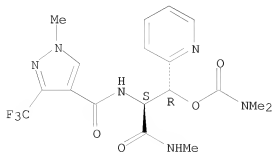
Relative stereochemistry.



RN 880478-22-8 CAPLUS

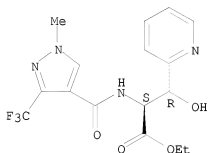
CN Carbamic acid, dimethyl-, (1R,2S)-3-(methylamino)-2-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-3-oxo-1-(2-pyridinyl)propyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



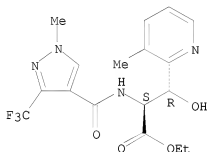
IT 880477-98-5P 880477-99-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of heteroaroylserine amides as herbicides)
 RN 880477-98-5 CAPLUS
 CN 2-Pyridinepropanoic acid, β -hydroxy- α -[[[1-methyl-3-
 (trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, ethyl ester,
 (α , β S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 880477-99-6 CAPLUS
 CN 2-Pyridinepropanoic acid, β -hydroxy-3-methyl- α -[[[1-methyl-3-
 (trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, ethyl ester,
 (α , β S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



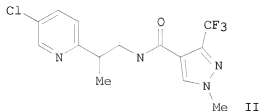
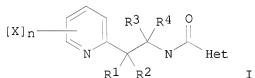
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:998722 CAPLUS
 DOCUMENT NUMBER: 143:286453
 TITLE: Preparation of 2-pyridinylethylcarboxamide derivatives
 as agricultural fungicides
 INVENTOR(S): Mansfield, Darren; Coqueron, Pierre-Yves; Rieck,
 Heiko; Desbordes, Philippe; Grosjean-Cournoyer,
 MarieClaire; Genix, Pierre; Villier, Alain
 PATENT ASSIGNEE(S): Bayer Cropsience S.A., Fr.
 SOURCE: Eur. Pat. Appl., 42 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1574511	A1	20050914	EP 2004-356029	20040303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CA 2553252	A1	20050915	CA 2005-2553252	20050301
WO 2005085238	A1	20050915	WO 2005-EP3282	20050301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1720865	A1	20061115	EP 2005-716432	20050301
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1926134	A	20070307	CN 2005-80006530	20050301
BR 2005006565	A	20070417	BR 2005-6565	20050301
JP 2007526279	T	20070913	JP 2007-501251	20050301
IN 2006DN04094	A	20070622	IN 2006-DN4094	20060717
KR 2006130144	A	20061218	KR 2006-715089	20060726
ZA 2006006678	A	20080227	ZA 2006-6678	20060811
MX 2006009828	A	20061116	MX 2006-9828	20060829
US 20070167491	A1	20070719	US 2006-588985	20061012
PRIORITY APPLN. INFO.:			EP 2004-356029	A 20040303
			WO 2005-EP3282	W 20050301

OTHER SOURCE(S): CASREACT 143:286453; MARPAT 143:286453
 GI



AB The invention is related to the preparation of 2-pyridinylethylcarboxamide derivs. of formula I [wherein n = 1-4; X = independently H, halo, NO₂, CN, OH, NH₂, etc.; R₁-R₄ = independently H, halo, CN, alkyl, etc. with the

proviso that when 3 of the 4 substituents R1-R4 = H, then the fourth substituent is not H; R5 = H, Cn, CHO, alkyl, OH, alkylsulfonyl, etc.; Het = 5-7 membered heterocycle with 1-3 heteroatoms; Het being linked by a C atom and being at least substituted in ortho position; and their salts, N-oxides, metallic and metalloidic complexes], useful as agricultural fungicides. For instance, 2-pyridinylethylcarboxamide derivative II was prepared. For compound II (330 ppm) in vivo test on alternaria brassicae (leaf spot of crucifer) was performed and 50 to 100% of protection was observed.

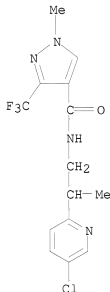
IT 864439-78-1P, N-[2-(5-Chloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide 864439-87-2P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-pyridinylethylcarboxamide derivs. as agricultural fungicides)

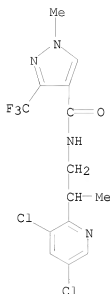
RN 864439-78-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-(5-chloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



RN 864439-87-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-(3,5-dichloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:568973 CAPLUS

DOCUMENT NUMBER: 143:97399

TITLE: A preparation of 2-pyridinylethylcarboxamide derivatives, useful as agricultural fungicides
INVENTOR(S): Coqueron, Pierre-Yves; Desbordes, Philippe; Mansfield, Darren James; Rieck, Heiko; Grosjean-Cournoyer, Marie-Claire; Villier, Alain; Genix, Pierre

PATENT ASSIGNEE(S): Bayer Cropscience S.A., Fr.

SOURCE: Eur. Pat. Appl., 50 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

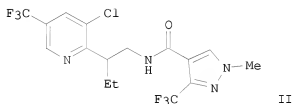
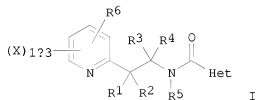
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1548007	A1	20050629	EP 2003-356206	20031219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
WO 2005058833	A1	20050630	WO 2004-EP14897	20041216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

EP 1694649 A1 20060830 EP 2004-804477 20041216
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
 BR 2004016720 A 20070116 BR 2004-16720 20041216
 CN 1898210 A 20070117 CN 2004-80038095 20041216
 JP 2007516974 T 20070628 JP 2006-544396 20041216
 MX 2006006803 A 20060904 MX 2006-6803 20060615
 KR 2007021118 A 20070222 KR 2006-714323 20060714
 US 20070117845 A1 20070524 US 2006-583011 20061006
 US 20090088456 A1 20090402 US 2008-292676 20081124
 PRIORITY APPLN. INFO.: EP 2003-356206 A 20031219
 WO 2004-EP14897 W 20041216
 US 2006-583011 A1 20061006
 OTHER SOURCE(S): CASREACT 143:97399; MARPAT 143:97399
 GI



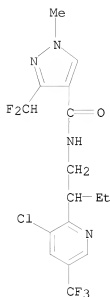
AB The invention relates to a preparation of 2-pyridinylethylcarboxamide derivs. of formula I [wherein: R1, R2, R3, and R4 are independently selected from H, halogen, CN, OH, NH2, or CHO, etc.; R5 is H, CN, CHO, or OH, etc.; R6 is haloalkyl with 1 to 5 halogen atoms; X is H, halogen, or (halo)alkyl; Het is 5-7-membered heterocycle with 1 to 3 heteroatoms], useful as agricultural fungicides. For instance, 2-pyridinylethylcarboxamide derivative II was prepared via amidation of 1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxylic acid by 2-[3-chloro-5-(trifluoromethyl)-2-pyridyl]-1-butanamine with a yield of 57%. For instance, for compound II (330 ppm) in vivo test on alternaria brassicae (leaf spot of crucifer) was performed and 50 to 100% of protection was observed

IT 856245-12-0P 856245-16-4P 856245-18-6P
 856245-23-3P 856245-25-5P 856245-26-6P
 856245-30-2P 856245-31-3P
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-pyridinylethylcarboxamide derivs. useful as agricultural fungicides)

RN 856245-12-0 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-

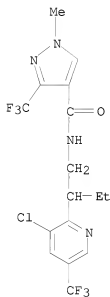
10/588,985

pyridinyl]butyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)



RN 856245-16-4 CAPLUS

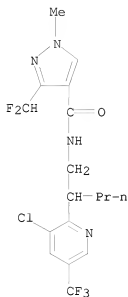
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]butyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



RN 856245-18-6 CAPLUS

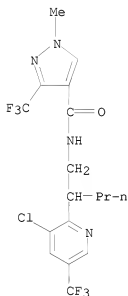
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]pentyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)

10/588,985



RN 856245-23-3 CAPLUS

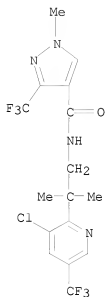
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]pentyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



RN 856245-25-5 CAPLUS

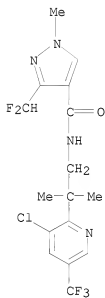
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-2-methylpropyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)

10/588,985



RN 856245-26-6 CAPLUS

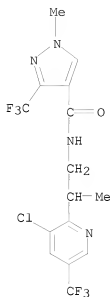
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-2-methylpropyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)



RN 856245-30-2 CAPLUS

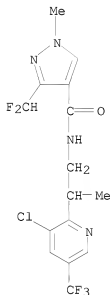
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]propyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)

10/588,985



RN 856245-31-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]propyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:325701 CAPLUS

DOCUMENT NUMBER: 142:373826

TITLE: Preparation of pyrazole derivatives as cannabinoid receptor modulators

INVENTOR(S): Pendri, Annapurna; Gerritz, Samuel; Dodd, Dharmpal S.; Sun, Chongqing
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: U.S. Pat. Appl. Publ., 48 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050080087	A1	20050414	US 2004-959866	20041006
US 7517900	B2	20090414		
WO 2005037199	A2	20050428	WO 2004-US33090	20041006
WO 2005037199	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

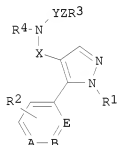
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1670460 A2 20060621 EP 2004-794436 20041006
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

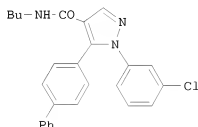
PRIORITY APPLN. INFO.: US 2003-510445P P 20031010
 WO 2004-US33090 W 20041006

OTHER SOURCE(S): CASREACT 142:373826; MARPAT 142:373826

GI



I



II

AB Pyrazole derivs. of formula I [R1 = H, alkyl, cycloalkyl, aryl, heteroaryl, etc.; R2 = halo, alkyl, cyano, etc.; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, etc.; A, B, E = C, N; X = CO, SO2, alkylene; Y = bond, SO2, CO, C-NH, etc.; Z = bond (substituted) NH, O; with provisos] are prepared as cannabinoid receptor modulators. Adnln., the present application describes pharmaceutical compns. comprising at least one compound of formula I and optionally one or more adnln. therapeutic agents. Finally, the present application describes methods of treatment using the compds. I both alone and in combination with one or more adnln. therapeutic agents. Thus, II was prepared using solid phase synthesis. The prepared compds. had CB-1 receptor binding affinity Ki values from 0.01 to

10/588,985

10000 nM.

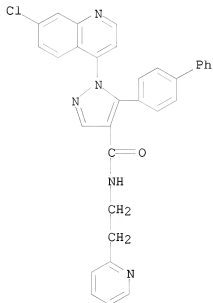
IT 849637-69-0P 849638-74-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as cannabinoid receptor modulators)

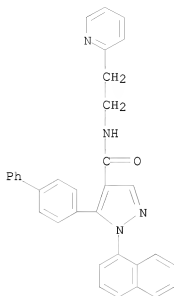
RN 849637-69-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-[1,1'-biphenyl]-4-yl-1-(7-chloro-4-quinoliny)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



RN 849638-74-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-[1,1'-biphenyl]-4-yl-1-(1-naphthalenyl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2004:700281 CAPLUS

DOCUMENT NUMBER: 141:207064

TITLE: Preparation of heteroarylcarboxamides as fungicides

INVENTOR(S): Mansfield, Darren James; Rieck, Heiko; Greul, Joerg Nico; Coqueron, Pierre-Yves; Desbordes, Philippe; Genix, Pierre; Grosjean-Cournoyer, Marie-Claire; Perez, Joseph; Villier, Alain

PATENT ASSIGNEE(S): Bayer Cropscience Sa, Fr.

SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

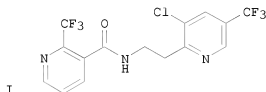
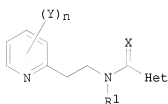
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1449841	A1	20040825	EP 2003-356029	20030219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2516186	A1	20040902	CA 2004-2516186	20040212
WO 2004074280	A1	20040902	WO 2004-EP2381	20040212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1597252	A1	20051123	EP 2004-710397	20040212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
BR	2004006465	A	20051206
CN	1751039	A	20060322
CN	100402525	C	20080716
JP	2006517948	T	20060803
ZA	2005004957	A	20060426
IN	2005DN02948	A	20070601
MX	2005008705	A	20051005
US	20060052366	A1	20060309

PRIORITY APPLN. INFO.:

BR	2004-6465		20040212
CN	2004-80004237		20040212
JP	2006-501983		20040212
ZA	2005-4957		20050617
IN	2005-DN2948		20050701
MX	2005-8705		20050816
US	2005-545364		20050920
EP	2003-356029	A	20030219
WO	2004-EP2381	W	20040212

OTHER SOURCE(S): MARPAT 141:207064
GI



AB The title compds. I [wherein X = O or S; Y = halo, NO₂, CN, etc.; R₁ = halo, CN, NO₂, etc.; n = 1-4; Het = (un)substituted heterocycle] are prepared as fungicides. For example, 2-(trifluoromethyl)nicotinic acid was reacted with 2-[3-chloro-5-(trifluoromethyl)pyridin-2-yl]ethylamine in CH₂Cl₂ to give II (98%). Compds. I protected 50-100% radish plants against alternaria brassicae at 300 ppm.

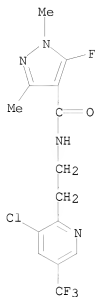
IT 743455-18-7P 743455-20-1P 743455-22-3P
743455-24-5P 743455-26-7P 743455-28-9P
743455-30-3P 743455-32-5P 743455-34-7P
743455-36-9P 743455-38-1P 743455-40-5P
743455-42-7P 743455-44-9P 743455-46-1P
743455-48-3P 743455-50-7P 743455-52-9P
743456-54-4P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fungicide; preparation of heteroarylcarboxamides as fungicides)

RN 743455-18-7 CAPLUS

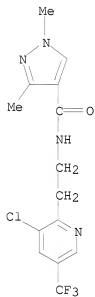
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-5-fluoro-1,3-dimethyl- (CA INDEX NAME)

10/588,985



RN 743455-20-1 CAPLUS

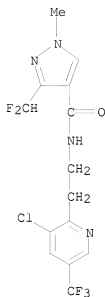
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1,3-dimethyl- (CA INDEX NAME)



RN 743455-22-3 CAPLUS

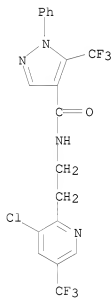
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)

10/588,985



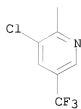
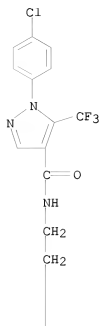
RN 743455-24-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



RN 743455-26-7 CAPLUS

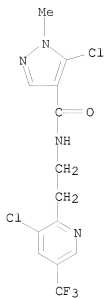
CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



RN 743455-28-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-chloro-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-methyl- (CA INDEX NAME)

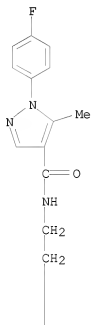
10/588,985



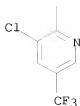
RN 743455-30-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-(4-fluorophenyl)-5-methyl- (CA INDEX NAME)

PAGE 1-A

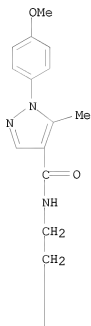


PAGE 2-A

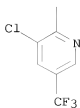


RN 743455-32-5 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-(4-methoxyphenyl)- (CA INDEX NAME)

PAGE 1-A

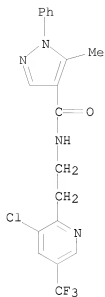


PAGE 2-A



RN 743455-34-7 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-5-methyl-1-phenyl- (CA INDEX NAME)

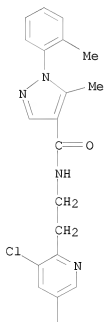
10/588,985



RN 743455-36-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-5-methyl-1-(2-methylphenyl)- (CA INDEX NAME)

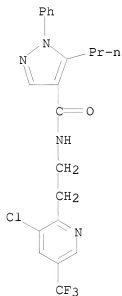
PAGE 1-A





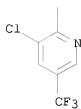
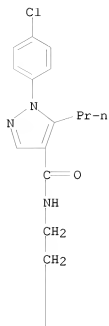
RN 743455-38-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-phenyl-5-propyl- (CA INDEX NAME)



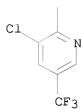
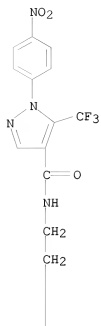
RN 743455-40-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-5-propyl- (CA INDEX NAME)



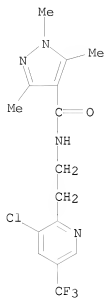
RN 743455-42-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-(4-nitrophenyl)-5-(trifluoromethyl)- (CA INDEX NAME)



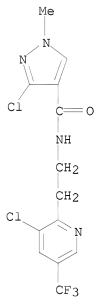
RN 743455-44-9 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1,3,5-trimethyl- (CA INDEX NAME)

10/588,985



RN 743455-46-1 CAPLUS

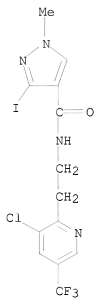
CN 1H-Pyrazole-4-carboxamide, 3-chloro-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-methyl- (CA INDEX NAME)



RN 743455-48-3 CAPLUS

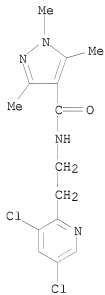
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-iodo-1-methyl- (CA INDEX NAME)

10/588,985



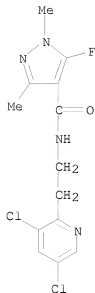
RN 743455-50-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-(3,5-dichloro-2-pyridinyl)ethyl]-1,3,5-trimethyl- (CA INDEX NAME)



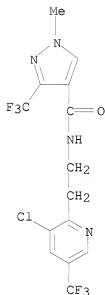
RN 743455-52-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-(3,5-dichloro-2-pyridinyl)ethyl]-5-fluoro-1,3-dimethyl- (CA INDEX NAME)



RN 743456-54-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:356201 CAPLUS

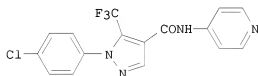
DOCUMENT NUMBER: 138:368888

TITLE: Pyrazolecarboxamides and -sulfonamides as sodium channel blockers

INVENTOR(S): Atkinson, Robert Nelson; Gross, Michael Francis
 PATENT ASSIGNEE(S): Icacen, Inc., USA
 SOURCE: PCT Int. Appl., 132 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037274	A2	20030508	WO 2002-US35172	20021101
WO 2003037274	A3	20031030		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2465207	A1	20030508	CA 2002-2465207	20021101
AU 2002363250	A1	20030512	AU 2002-363250	20021101
EP 1451160	A2	20040901	EP 2002-799175	20021101
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
US 20050049237	A1	20050303	US 2002-286304	20021101
US 7223782	B2	20070529		
US 20080064690	A1	20080313	US 2007-740845	20070426
PRIORITY APPLN. INFO.:			US 2001-335958P	P 20011101
			US 2002-286304	A1 20021101
			WO 2002-US35172	W 20021101

OTHER SOURCE(S): MARPAT 138:368888
 GI



I

AB Pyrazolecarboxamides and -sulfonamides were prepared for use in the treatment of diseases through the inhibition of sodium ion flux through voltage-dependent sodium channels, especially pain and chronic pain. Thus, the amide I was prepared by amidation of the acid chloride with the amine and showed activity at the PN3 Na channel in the 4.1-10 μ M range.

IT 521921-16-4P 521921-17-5P 521921-69-7P
 521921-70-0P 521921-71-1P 521921-72-2P
 521924-57-2P 521924-62-9P 521930-08-5P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazolecarboxamides and -sulfonamides as sodium channel blockers)

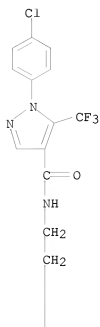
RN 521921-16-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[2-(2-pyridinyl)ethyl]-5-

10/588,985

(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A



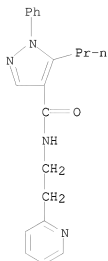
PAGE 2-A



RN 521921-17-5 CAPLUS

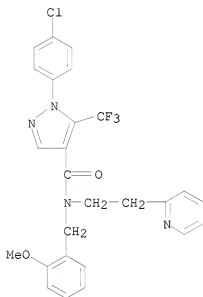
CN 1H-Pyrazole-4-carboxamide, 1-phenyl-5-propyl-N-[2-(2-pyridinyl)ethyl]-
(CA INDEX NAME)

10/588,985



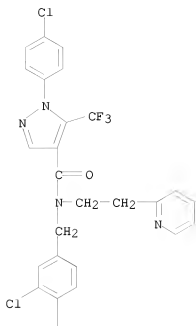
RN 521921-69-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(2-methoxyphenyl)methyl]-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



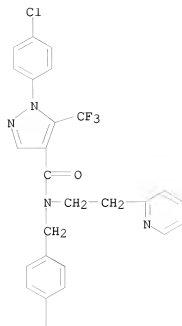
RN 521921-70-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(3,4-dichlorophenyl)methyl]-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



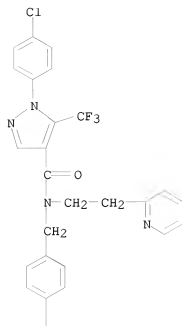
RN 521921-71-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(4-fluorophenyl)methyl]-N-[2-(2-pyridinylethyl)-5-(trifluoromethyl)- (CA INDEX NAME)]

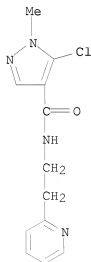


F

RN 521921-72-2 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(4-methylphenyl)methyl]-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



RN 521924-57-2 CAPLUS

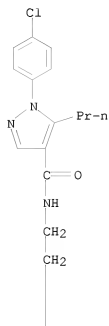
CN 1H-Pyrazole-4-carboxamide, 5-chloro-1-methyl-N-[2-(2-pyridinyl)ethyl]-
(CA INDEX NAME)

10/588,985

RN 521924-62-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-5-propyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

PAGE 1-A

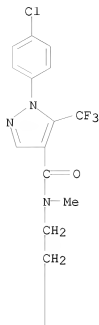


PAGE 2-A



RN 521930-08-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-methyl-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:617014 CAPLUS

DOCUMENT NUMBER: 127:293215

ORIGINAL REFERENCE NO.: 127:57311a, 57314a

TITLE: Preparation of isothiazolones for lowering plasma levels of lipoprotein(a)

INVENTOR(S): Domagala, John Michael; Lee, Helen Tsenwhei; Ramharack, Randy Ranjee; Roth, Bruce David; Sawyer, Tomi; Sliskovic, Drago Robert

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 456,149. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----

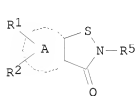
US 5668162	A	19970916	US 1996-646188	19960507
US 5620997	A	19970415	US 1995-456149	19950531
TW 418206	B	20010111	TW 1995-84113376	19951215
IL 117859	A	20000716	IL 1996-117859	19960409
CA 2218253	A1	19961205	CA 1996-2218253	19960426
CN 1185737	A	19980624	CN 1996-194276	19960426
CN 1114402	C	20030716		
HU 9900917	A2	19990928	HU 1999-917	19960426
HU 9900917	A3	20030428		
ES 2192224	T3	20031001	ES 1996-913177	19960426
HR 9600216	B1	20011231	HR 1996-216	19960508
ZA 9604441	A	19961210	ZA 1996-4441	19960530
US 5733921	A	19980331	US 1996-757716	19961126
US 6001863	A	19991214	US 1997-882845	19970626
US 6133270	A	20001017	US 1997-882846	19970626
US 5889034	A	19990330	US 1998-40777	19980318
IN 2000DE00142	A	20050311	IN 2000-DE142	20000222

PRIORITY APPLN. INFO.:

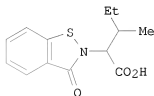
US 1995-456149	A2	19950531
IN 1996-DE767	A3	19960409
US 1996-757716	A3	19961126

OTHER SOURCE(S): MARPAT 127:293215

GI



I



II

AB The title compds. [I; A = a 5-6 membered monocyclic or bicyclic ring which may contain up to 3 heteroatoms selected from O, S, and N; R1, R2 = H, halo, C1-6 alkyl, etc.; R5 = H, C1-6 alkyl, C3-6 cycloalkyl, etc.], useful for treating restenosis and angina, and preventing stroke, were prepared and formulated. Thus, treatment of [S-(R*,R*)]-2-[2-[2-(1-carboxy-2-methylbutylcarbamoyl)phenyldisulfanyl]benzoylamino]-3-methylpentanoic acid with Br2 in CH2Cl2 afforded the title compound [S-(R*,R*)]-II which showed IC50 of 29.5 μ M against Lp(a) particle formation.

IT 186130-57-4P 186130-58-5P

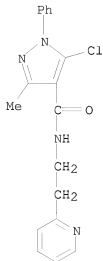
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of isothiazolones for lowering plasma levels of lipoprotein(a))

RN 186130-57-4 CAPLUS

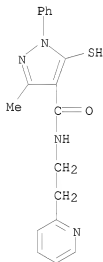
CN 1H-Pyrazole-4-carboxamide, 5-chloro-3-methyl-1-phenyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

10/588,985



RN 186130-58-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-mercapto-3-methyl-1-phenyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:107395 CAPLUS

DOCUMENT NUMBER: 126:117968

ORIGINAL REFERENCE NO.: 126:22773a,22776a

TITLE: Preparation of isothiazolones as anti-retroviral,

anti-inflammatory and anti-atherosclerotic agents
Bolton, Gary Louis; Domagala, John Michael; Elslager,
Edward Faith; Gogliotti, Rocco Dean; Purchase, Terri
Stoeber; Sanchez, Joseph Peter; Trivedi, Bharat
Kalidas

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 95 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638144	A1	19961205	WO 1996-US5821	19960426
W: AU, BG, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, LK, LR, LT, LV, MG, MX, NO, NZ, PL, RO, SG, SI, SK, UA, UZ, VN, AM, AZ, BY, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5620997	A	19970415	US 1995-456149	19950531
TW 418206	B	20010111	TW 1995-84113376	19951215
IL 117859	A	20000716	IL 1996-117859	19960409
CA 2218253	A1	19961205	CA 1996-2218253	19960426
AU 9655771	A	19961218	AU 1996-55771	19960426
AU 723233	B2	20000824		
EP 828488	A1	19980318	EP 1996-913177	19960426
EP 828488	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1185737	A	19980624	CN 1996-194276	19960426
CN 1114402	C	20030716		
HU 9900917	A2	19990928	HU 1999-917	19960426
HU 9900917	A3	20030428		
NZ 307023	A	20010330	NZ 1996-307023	19960426
JP 2002502353	T	20020122	JP 1996-536473	19960426
AT 232385	T	20030215	AT 1996-913177	19960426
ES 2192224	T3	20031001	ES 1996-913177	19960426
HR 9600216	B1	20011231	HR 1996-216	19960508
ZA 9604441	A	19961210	ZA 1996-4441	19960530
US 5733921	A	19980331	US 1996-757716	19961126
US 6001863	A	19991214	US 1997-882845	19970626
US 6133270	A	20001017	US 1997-882846	19970626
NO 9705496	A	19980122	NO 1997-5496	19971128
US 5889034	A	19990330	US 1998-40777	19980318
IN 2000DE00142	A	20050311	IN 2000-DE142	20000222
PRIORITY APPLN. INFO.:			US 1995-456149	A 19950531
			IN 1996-DE767	A3 19960409
			WO 1996-US5821	W 19960426
			US 1996-757716	A3 19961126

OTHER SOURCE(S): MARPAT 126:117968

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; A = 5-6 membered monocyclic ring or a bicyclic ring (9-12 ring atoms); R1, R2 = H, halo, C1-6 alkyl, etc.; R5 = H, C1-6 alkyl, COC1-6 alkyl, etc.; m = 0-2], useful as anti-retroviral, anti-inflammatory, and anti-atherosclerotic agents, were prepared and formulated. Thus, treatment of 2-thio-N-(4-sulfamoylphenyl)benzamide with chlorocarbonylsulfonyl chloride in MeOH/THF afforded II which showed EC50 of 5.1 μ M against HIV virus and 35% 15-lipoxygenase inhibition at 10 μ M.

IT 186130-57-4P 186130-58-5P

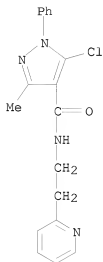
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of isothiazolones as anti-retroviral, anti-inflammatory and anti-atherosclerotic agents)

10/588,985

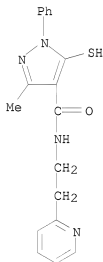
RN 186130-57-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-chloro-3-methyl-1-phenyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



RN 186130-58-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-mercapto-3-methyl-1-phenyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>